Heard 10/625,103

```
=> D HIS

     (FILE 'CAPLUS' ENTERED AT 14:42:15 ON 15 NOV 2004)
               DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 14:42:43 ON 15 NOV 2004
               ACT HEARD625/A
               ---------
               STR
L1
L2          1591 SEA FILE=REGISTRY SSS FUL L1
               ---------
               ACT HEARDSEQ/A
               ---------
L3            28 SEA FILE=REGISTRY ABB=ON  PLU=ON  WQEWEQKITALLEQAQIQQEKNEYELQKL
               ---------
L4             1 S 251562-00-2
               SET SMARTSELECT ON
L5           SEL L3 1- RN :       28 TERMS
               SET SMARTSELECT OFF
L6             0 S L5/CRN
L7             0 S 251562-00-2/CRN

      FILE 'CAPLUS' ENTERED AT 14:43:41 ON 15 NOV 2004
L8           515 S L2
L9            45 S L3 OR L4
L10            1 S L8 AND L9
L11           42 S T1249 OR T 1249 OR T1249/AB OR T 1249/AB
L12            1 S L11 AND L2
L13            1 S L10 OR L12
```

```
=> fil reg
FILE 'REGISTRY' ENTERED AT 14:45:13 ON 15 NOV 2004
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   14 NOV 2004  HIGHEST RN 780728-63-4
DICTIONARY FILE UPDATES:  14 NOV 2004  HIGHEST RN 780728-63-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que stat l2
L1                STR

                 13
                 O
                 ||
CH2-CH2-O--G1--=C--------NH-G2--CH2
4   5   6   7   8        10  11  12

REP G1=(1-17) CH2
REP G2=(1-3) CH2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   9

STEREO ATTRIBUTES: NONE
L2          1591 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 213472 ITERATIONS                      1591 ANSWERS
SEARCH TIME: 00.00.04


=> d que  l3
L3            28 SEA FILE=REGISTRY ABB=ON  PLU=ON  WQEWEQKITALLEQAQIQQEKNEYELQKL
                 DKWASLWEWF/SQSP
```

Seq for T 1249

```
=> d que l4;d l4
L4             1 SEA FILE=REGISTRY ABB=ON  PLU=ON  251562-00-2
```

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN **251562-00-2** REGISTRY
CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-L-glutaminyl-L-α-glutamyl-L-tryptophyl-L-α-glutamyl-L-glutaminyl-L-lysyl-L-isoleucyl-L-threonyl-L-alanyl-L-leucyl-L-leucyl-L-α-glutamyl-L-glutaminyl-L-alanyl-L-glutaminyl-L-isoleucyl-L-glutaminyl-L-glutaminyl-L-α-glutamyl-L-lysyl-L-asparaginyl-L-α-glutamyl-L-tyrosyl-L-α-glutamyl-L-leucyl-L-glutaminyl-L-lysyl-L-leucyl-L-α-aspartyl-L-lysyl-L-tryptophyl-L-alanyl-L-seryl-L-leucyl-L-tryptophyl-L-α-glutamyl-L-tryptophyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 1: PN: WO9959615 PAGE: 68 claimed protein
CN T 1249
CN Tifuvirtide
FS PROTEIN SEQUENCE
MF C235 H341 N57 O67
CI MAN
SR CA
LC STN Files: BIOSIS, BIOTECHNO, CA, CAPLUS, EMBASE, IMSRESEARCH, PHAR, PROUSDDR, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Journal; Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)
RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation); PROC (Process); PRP (Properties); USES (Uses)

RELATED SEQUENCES AVAILABLE WITH SEQLINK

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
*** USE 'SQD' OR 'SQIDE' FORMATS TO DISPLAY SEQUENCE ***
30 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
32 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d que l6
L3 28 SEA FILE=REGISTRY ABB=ON PLU=ON WQEWEQKITALLEQAQIQQEKNEYELQKL DKWASLWEWF/SQSP
L5 SEL PLU=ON L3 1- RN : 28 TERMS
L6 0 SEA FILE=REGISTRY ABB=ON PLU=ON L5/CRN

=> d que l7
L7 0 SEA FILE=REGISTRY ABB=ON PLU=ON 251562-00-2/CRN

=> fil caplus
FILE 'CAPLUS' ENTERED AT 14:45:41 ON 15 NOV 2004
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FILE COVERS 1907 - 15 Nov 2004 VOL 141 ISS 21
FILE LAST UPDATED: 14 Nov 2004 (20041114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

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=> d que nos l13
L1              STR
L2          1591 SEA FILE=REGISTRY SSS FUL L1
L3            28 SEA FILE=REGISTRY ABB=ON  PLU=ON  WQEWEQKITALLEQAQIQQEKNEYELQKL
                   DKWASLWEWF/SQSP
L4             1 SEA FILE=REGISTRY ABB=ON  PLU=ON  251562-00-2
L8           515 SEA FILE=CAPLUS ABB=ON  PLU=ON  L2
L9            45 SEA FILE=CAPLUS ABB=ON  PLU=ON  L3 OR L4
L10            1 SEA FILE=CAPLUS ABB=ON  PLU=ON  L8 AND L9
L11           42 SEA FILE=CAPLUS ABB=ON  PLU=ON  T1249/OBI OR T 1249/OBI OR
                   T1249/AB OR T 1249/AB
L12            1 SEA FILE=CAPLUS ABB=ON  PLU=ON  L11 AND L2
L13            1 SEA FILE=CAPLUS ABB=ON  PLU=ON  L10 OR L12


=> d .ca hitstr l13 1

L13  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         2004:120875  CAPLUS
DOCUMENT NUMBER:          140:187355
TITLE:                    Preparation of PEGylated T1249 polypeptide
                          conjugates as antiviral agents
INVENTOR(S):              Bailon, Pascal Sebastian; Won, Chee-Youb
PATENT ASSIGNEE(S):       F. Hoffmann-La Roche AG, Switz.
SOURCE:                   PCT Int. Appl., 61 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
     ---------------      ----   --------    --------------------   --------
     WO 2004013165         A1    20040212    WO 2003-EP7711         20030716
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
```

US 2004171542 A1 20040902 US 2003-625103 20030722
PRIORITY APPLN. INFO.: US 2002-398190P P 20020724
US 2003-439213P P 20030110

AB Pegylated **T1249** polypeptide compds. are provided. Also provided are pharmaceutical compns. containing pegylated **T1249** polypeptide compds., and processes of making. Further provided is the use of pharmaceutical composition comprising, in admixt. with a pharmaceutically acceptable excipient, a PEGylated **T1249** polypeptide conjugate, for the preparation of a medicament for the inhibition of HIV infection. Propionaldehyde-PEG was reacted with **T1249** to obtain propionaldehyde-PEG-**T1249** conjugate. Antiviral efficacy of the conjugate was shown in rats.

IC ICM C07K014-16
ICS A61K038-16; A61P031-18

CC 63-5 (Pharmaceuticals)
Section cross-reference(s): 1

ST PEGylated **T1249** polypeptide conjugates antiviral

IT Drug delivery systems
(freeze-dried; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT Drug delivery systems
(infusions; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT Drug delivery systems
(injections, i.m.; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT Drug delivery systems
(injections, i.p.; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT Drug delivery systems
(injections, i.v.; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT Drug delivery systems
(injections, s.c.; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT Drug delivery systems
(injections; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT Bioavailability
Human immunodeficiency virus
(preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT 125061-88-3DP, reaction with **T1249** **251562-00-2DP**, **T1249**, conjugates with polyethylene glycol derivs. **650634-82-5DP**, reaction with **T1249** **650634-82-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT 5292-43-3, tert-Butyl bromoacetate 6346-09-4, 4-Aminobutyraldehyde diethylacetal 9004-74-4, Methoxypolyethylene glycol 125061-88-3 **251562-00-2**, **T1249**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT 67665-18-3P **650634-81-4P** 656807-59-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of PEGylated **T1249** polypeptide conjugates as

antiviral agents)

IT **658963-49-6**
RL: PRP (Properties)
(unclaimed protein sequence; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

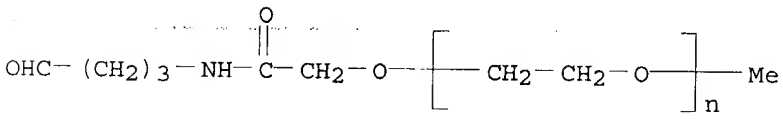
IT 251651-38-4 658681-54-0 658681-55-1 658681-56-2
RL: PRP (Properties)
(unclaimed sequence; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

IT **251562-00-2DP**, **T1249**, conjugates with polyethylene glycol derivs. **650634-82-5DP**, reaction with **T1249** **650634-82-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

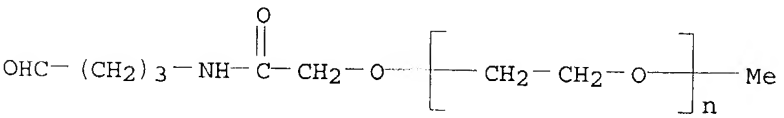
RN 251562-00-2 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-L-glutaminyl-L-α-glutamyl-L-tryptophyl-L-α-glutamyl-L-glutaminyl-L-lysyl-L-isoleucyl-L-threonyl-L-alanyl-L-leucyl-L-leucyl-L-α-glutamyl-L-glutaminyl-L-alanyl-L-glutaminyl-L-isoleucyl-L-glutaminyl-L-glutaminyl-L-α-glutamyl-L-lysyl-L-asparaginyl-L-α-glutamyl-L-tyrosyl-L-α-glutamyl-L-leucyl-L-glutaminyl-L-lysyl-L-leucyl-L-α-aspartyl-L-lysyl-L-tryptophyl-L-alanyl-L-seryl-L-leucyl-L-tryptophyl-L-α-glutamyl-L-tryptophyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 650634-82-5 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-methyl-ω-[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



RN 650634-82-5 CAPLUS
CN Poly(oxy-1,2-ethanediyl), α-methyl-ω-[2-oxo-2-[(4-oxobutyl)amino]ethoxy]- (9CI) (CA INDEX NAME)



IT **251562-00-2**, **T1249**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

RN 251562-00-2 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tryptophyl-L-glutaminyl-L-α-glutamyl-L-tryptophyl-L-α-glutamyl-L-glutaminyl-L-lysyl-L-isoleucyl-L-threonyl-L-alanyl-L-leucyl-L-leucyl-L-α-glutamyl-L-glutaminyl-L-alanyl-L-glutaminyl-L-isoleucyl-L-glutaminyl-L-glutaminyl-L-α-glutamyl-L-lysyl-L-asparaginyl-L-α-glutamyl-L-tyrosyl-L-α-

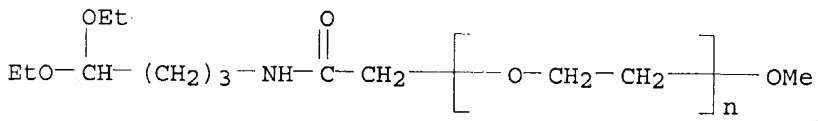
glutamyl-L-leucyl-L-glutaminyl-L-lysyl-L-leucyl-L-α-aspartyl-L-lysyl-L-tryptophyl-L-alanyl-L-seryl-L-leucyl-L-tryptophyl-L-α-glutamyl-L-tryptophyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT **650634-81-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

RN 650634-81-4 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α-[2-[(4,4-diethoxybutyl)amino]-2-oxoethyl]-ω-methoxy- (9CI) (CA INDEX NAME)



IT **658963-49-6**
RL: PRP (Properties)
(unclaimed protein sequence; preparation of PEGylated **T1249** polypeptide conjugates as antiviral agents)

RN 658963-49-6 CAPLUS

CN L-Phenylalanine, L-tryptophyl-L-glutaminyl-L-α-glutamyl-L-tryptophyl-L-α-glutamyl-L-glutaminyl-L-lysyl-L-isoleucyl-L-threonyl-L-alanyl-L-leucyl-L-leucyl-L-α-glutamyl-L-glutaminyl-L-alanyl-L-glutaminyl-L-isoleucyl-L-glutaminyl-L-glutaminyl-L-α-glutamyl-L-lysyl-L-asparaginyl-L-α-glutamyl-L-tyrosyl-L-α-glutamyl-L-leucyl-L-glutaminyl-L-lysyl-L-leucyl-L-α-aspartyl-L-lysyl-L-tryptophyl-L-alanyl-L-seryl-L-leucyl-L-tryptophyl-L-α-glutamyl-L-tryptophyl- (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

=>